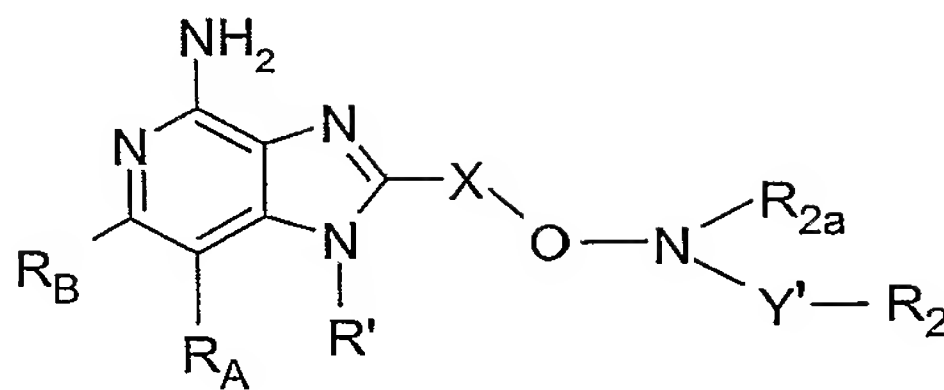


WHAT IS CLAIMED IS:

1. A compound of the Formula I:



I

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R_A and R_B are each independently selected from the group consisting of:

hydrogen,
 halogen,
 alkyl,
 alkenyl,
 alkoxy,
 alkylthio, and
 -N(R₉)₂;

or when taken together, R_A and R_B form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R_A and R_B form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,
 hydroxy,
 alkyl,
 alkenyl,
 haloalkyl,
 alkoxy,

alkylthio, and

$-\text{N}(\text{R}_9)_2$;

Y' is selected from the group consisting of:

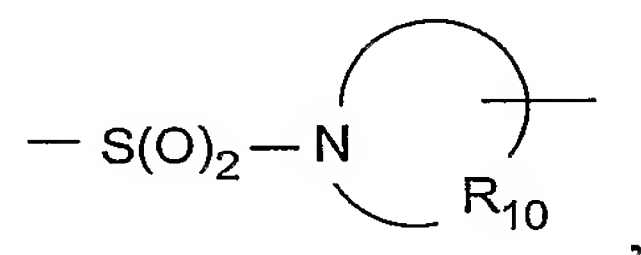
a bond,

$-\text{C}(\text{O})-$,

$-\text{C}(\text{S})-$,

$-\text{S}(\text{O})_2-$,

$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$,



$-\text{C}(\text{O})-\text{O}-$,

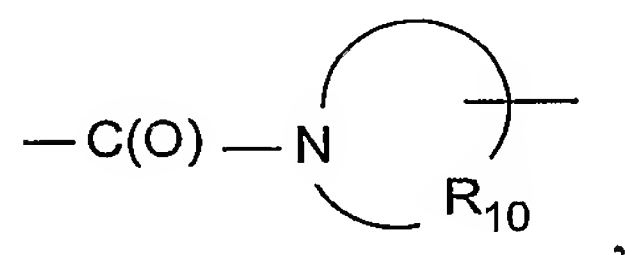
$-\text{C}(\text{O})-\text{N}(\text{R}_8)-$,

$-\text{C}(\text{S})-\text{N}(\text{R}_8)-$,

$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$,

$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,

$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,



$-\text{C}(\text{O})-\text{C}(\text{O})-$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

R_2 and R_{2a} are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

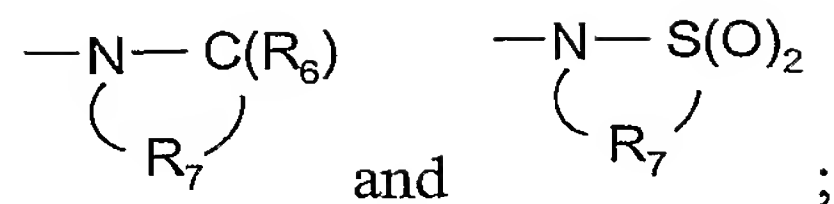
heteroarylalkylenyl,

heterocyclyl,

heterocyclalkylenyl, and
 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
 heterocycl, or heterocyclalkylenyl, substituted by one or more substituents selected
 from the group consisting of:

- 5 hydroxy,
- alkyl,
- haloalkyl,
- hydroxyalkyl,
- alkoxy,
- 10 dialkylamino,
- S(O)₀₋₂-alkyl,
- S(O)₀₋₂-aryl,
- NH-S(O)₂-alkyl,
- NH-S(O)₂-aryl,
- 15 haloalkoxy,
- halogen,
- cyano,
- nitro,
- aryl,
- 20 heteroaryl,
- heterocycl,
- aryloxy,
- arylalkyleneoxy,
- C(O)-O-alkyl,
- 25 -C(O)-N(R₈)₂,
- N(R₈)-C(O)-alkyl,
- O-(CO)-alkyl, and
- C(O)-alkyl;

or R₂ and R_{2a} together with the nitrogen atom and Y' to which they are bonded can
 30 join to form a ring selected from the group consisting of:



R' is hydrogen or a non-interfering substituent;

R''' is a non-interfering substituent;

R₆ is selected from the group consisting of =O and =S;

5 R₇ is C₂₋₇ alkylene;

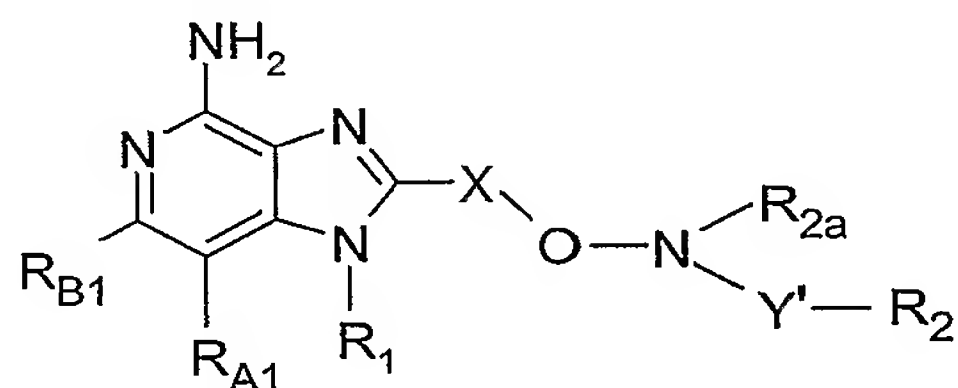
R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl; and

R₁₀ is C₃₋₈ alkylene;

10 or a pharmaceutically acceptable salt thereof.

2. A compound of the Formula II:



II

15 wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R_{A1} and R_{B1} are each independently selected from the group consisting of:

hydrogen,

halogen,

20 alkyl,

alkenyl,

alkoxy,

alkylthio, and

$$-\text{N}(\text{R}_9)_2;$$

25 or when taken together, R_{A1} and R_{B1} form a fused aryl ring or heteroaryl ring

containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R₃ group, or substituted by one R₃ group and one R group;

or when taken together, R_{A1} and R_{B1} form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

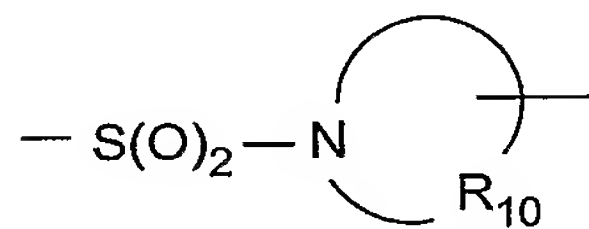
halogen,
hydroxy,
alkyl,
alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

R₃ is selected from the group consisting of:

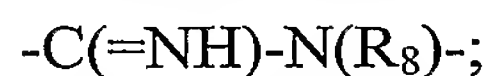
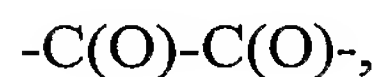
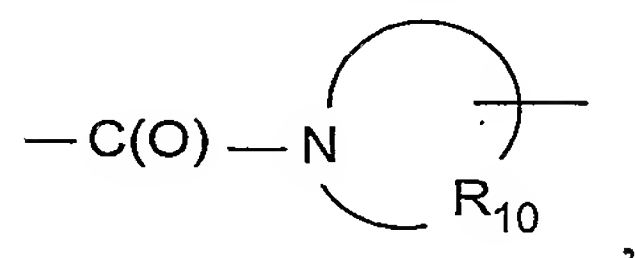
-Z-R₄,
-Z-X'-R₄,
-Z-X'-Y-R₄,
-Z-X'-Y-X'-Y-R₄, and
-Z-X'-R₅;

Y' is selected from the group consisting of:

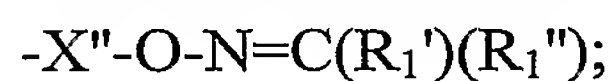
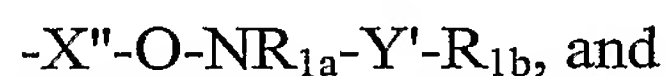
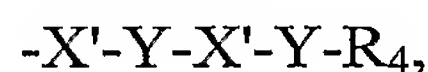
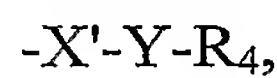
a bond,
-C(O)-,
-C(S)-,
-S(O)₂-,
-S(O)₂-N(R₈)-,



-C(O)-O-,
-C(O)-N(R₈)-,



R_1 is selected from the group consisting of:



R_{1a} , R_{1b} , R_1' , R_1'' , R_2 , and R_{2a} are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

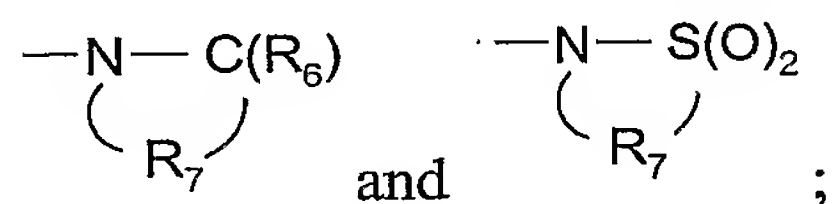
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected

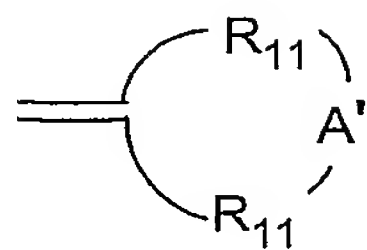
from the group consisting of:

hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

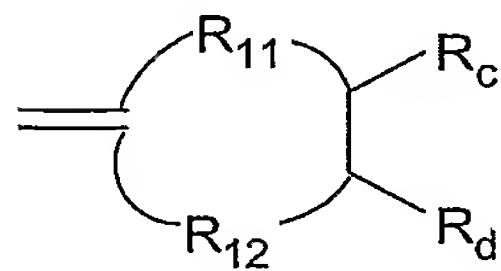
or R_{1a} and R_{1b} and/or R₂ and R_{2a} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R_1' and R_1'' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂-,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

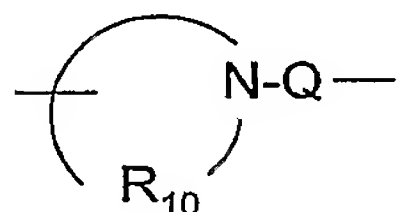
-O-C(O)-O-,

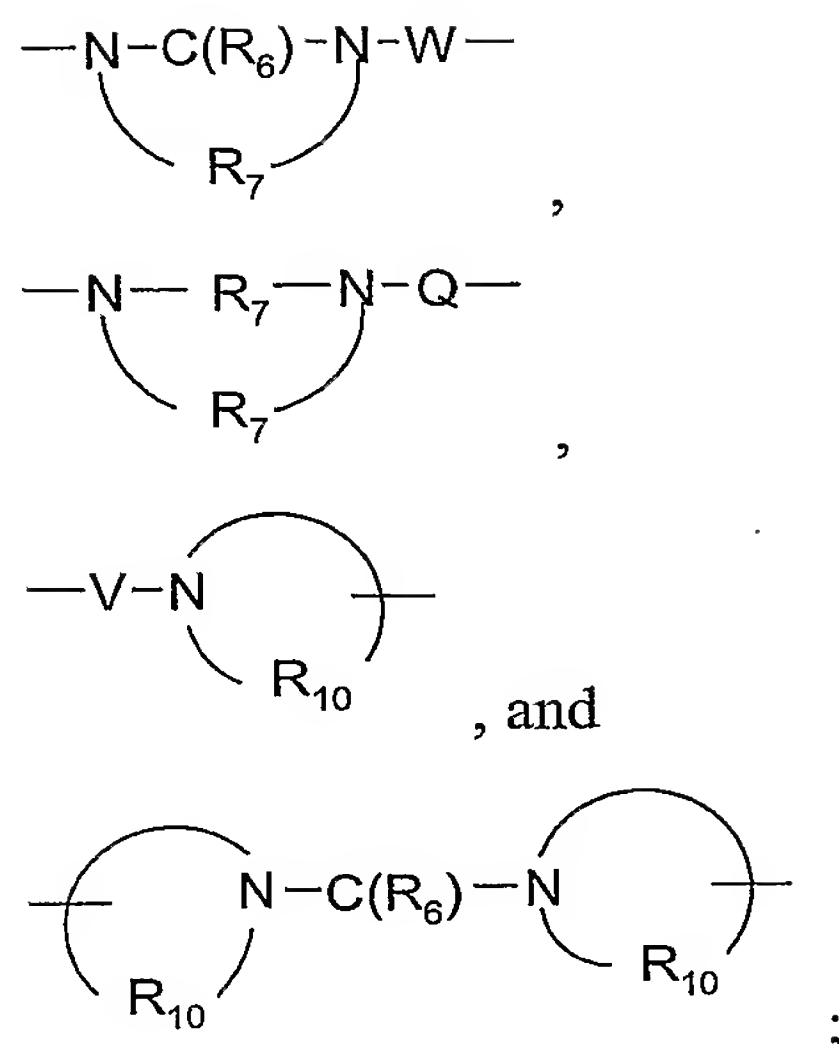
-N(R₈)-Q-,

-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,

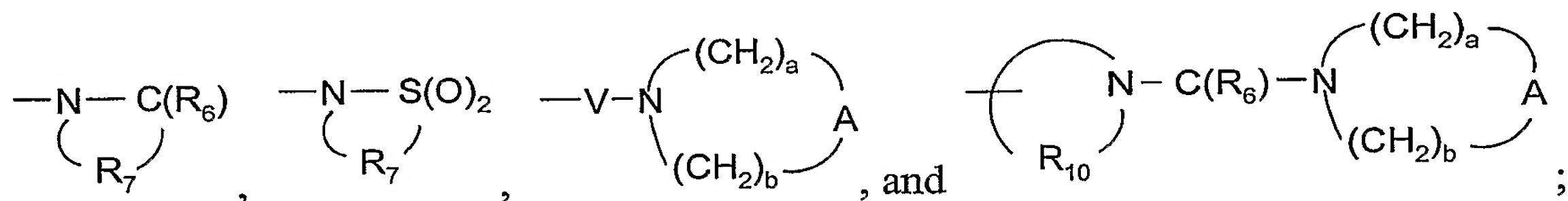




5 Z is a bond or -O-;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

20 R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of $-CH_2-$, -O-, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

A' is selected from the group consisting of -O-, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

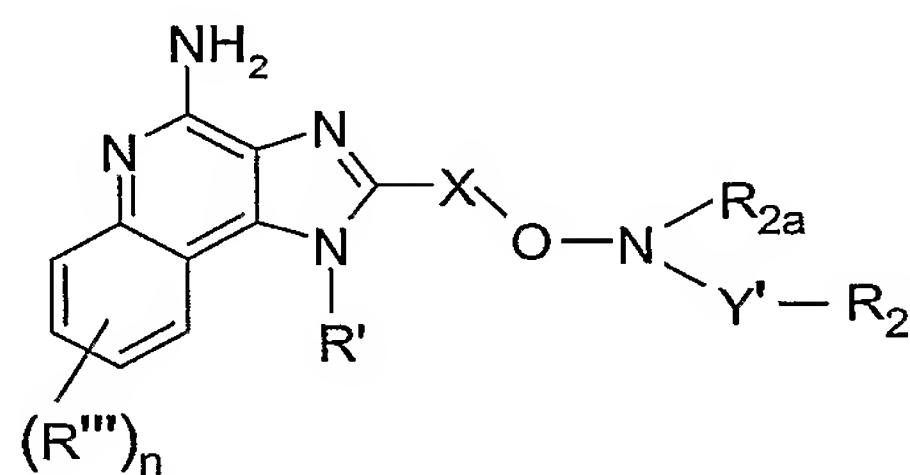
Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$; or a pharmaceutically acceptable salt thereof.

3. A compound of the Formula III:



III

wherein:

X is C_{1-10} alkylene or C_{2-10} alkenylene;

Y' is selected from the group consisting of:

a bond,

$-C(O)-$,

5 alkyl,
 haloalkyl,
 hydroxyalkyl,
 alkoxy,
 dialkylamino,
 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
 -NH-S(O)₂-alkyl,
 -NH-S(O)₂-aryl,
 10 haloalkoxy,
 halogen,
 cyano,
 nitro,
 aryl,
 15 heteroaryl,
 heterocyclyl,
 aryloxy,
 arylalkyleneoxy,
 -C(O)-O-alkyl,
 20 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;

25 R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl,
 C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₁₀ is C₃₋₈ alkylene;

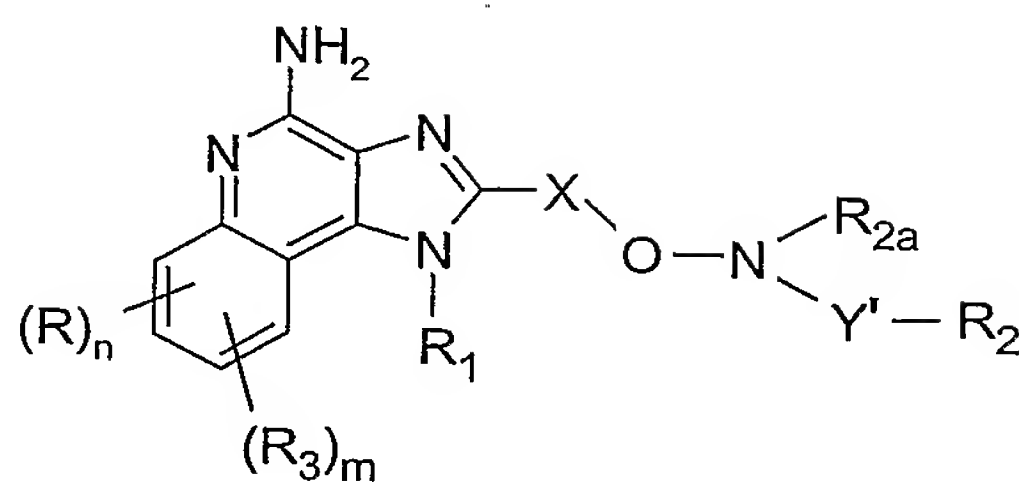
n is an integer from 0 to 4;

R''' is a non-interfering substituent; and

R' is hydrogen or a non-interfering substituent;

30 or a pharmaceutically acceptable salt thereof.

4. A compound of the Formula IIIa:



IIIa

wherein:

5 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;
Y' is selected from the group consisting of:

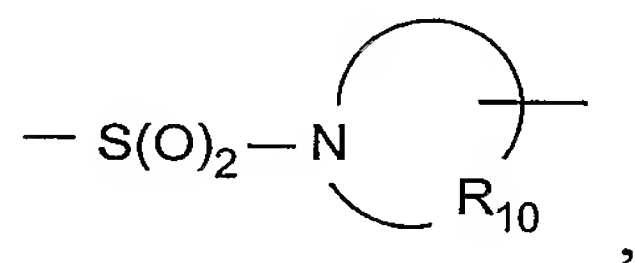
a bond,

-C(O)-,

-C(S)-,

10 -S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

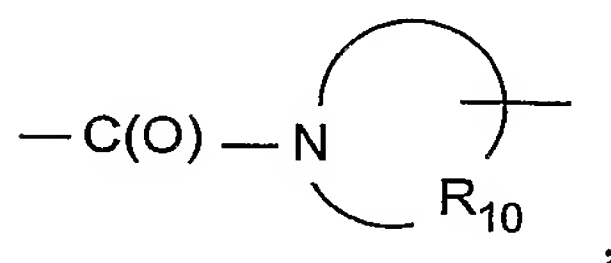
-C(O)-N(R₈)-,

15 -C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20 -C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R is selected from the group consisting of:

halogen,

hydroxy,
alkyl,
alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

R₁ is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄,
-X'-Y-X'-Y-R₄,
-X'-R₅,
-X''-O-NR_{1a}-Y'-R_{1b}, and
-X''-O-N=C(R₁') (R₁'');

R_{1a}, R_{1b}, R₁', R₁'', R₂, and R_{2a} are independently selected from the group consisting of:

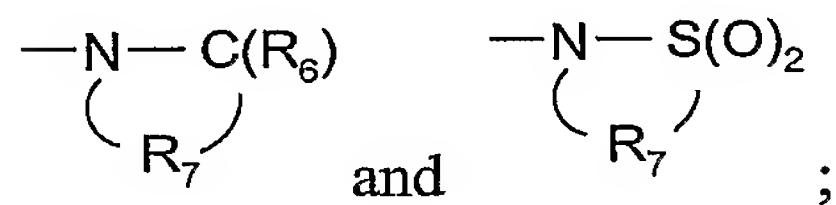
hydrogen,
alkyl,
alkenyl,
aryl,
arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

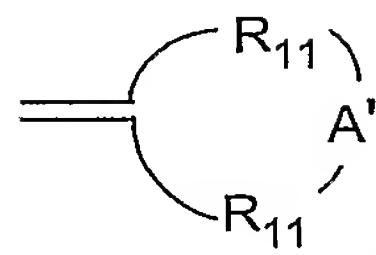
hydroxy,
alkyl,

haloalkyl,
hydroxyalkyl,
alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

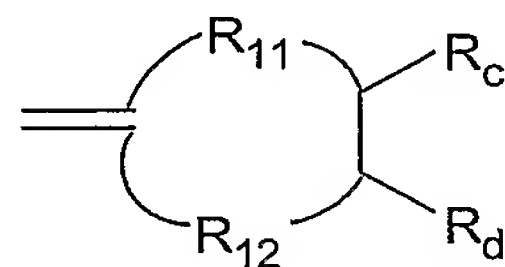
or R_{1a} and R_{1b} and/or R₂ and R_{2a} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R₃ is selected from the group consisting of:

- Z-R₄,
- Z-X'-R₄,
- Z-X'-Y-R₄,
- Z-X'-Y-X'-Y-R₄, and
- Z-X'-R₅;

n is an integer from 0 to 4;

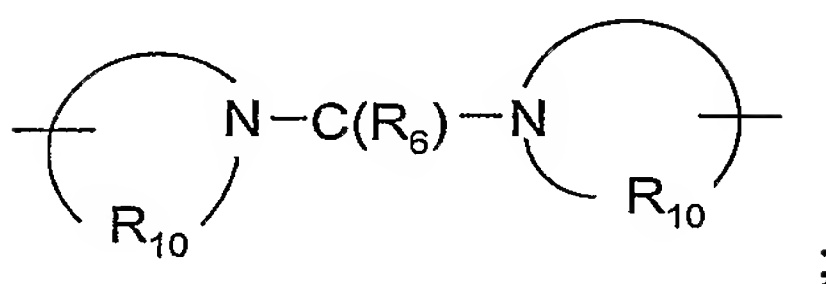
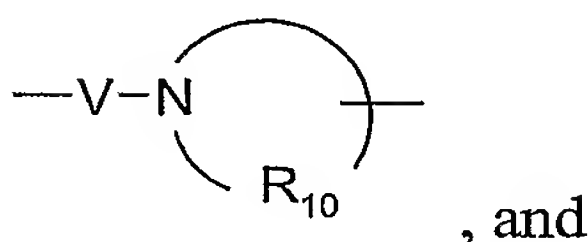
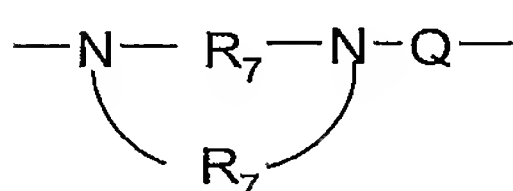
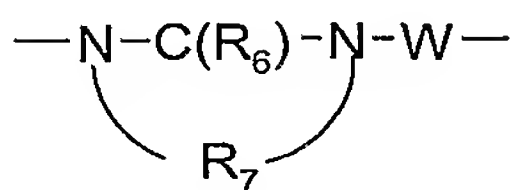
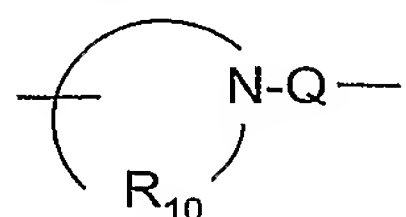
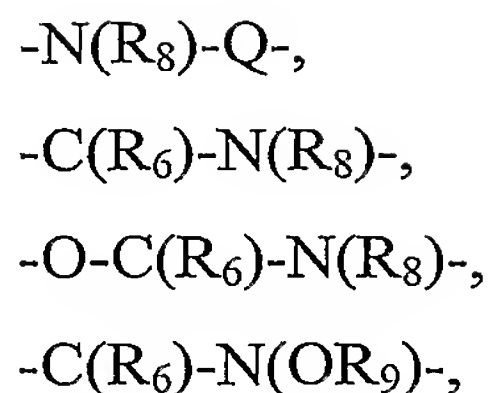
m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

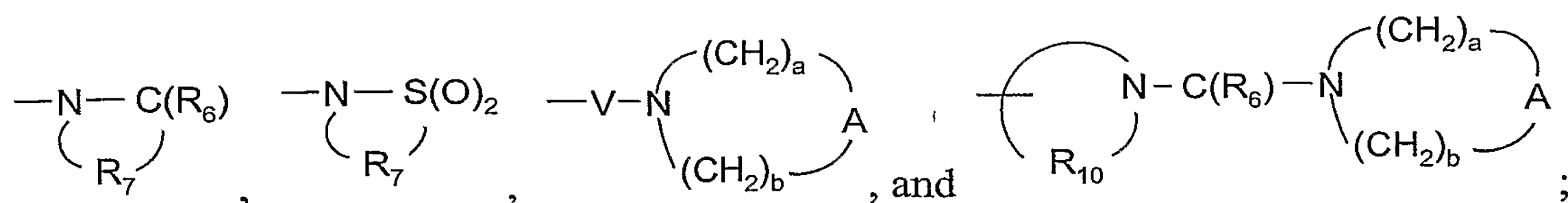
- S(O)₀₋₂-,
- S(O)₂-N(R₈)-,
- C(R₆)-,
- C(R₆)-O-,
- O-C(R₆)-,
- O-C(O)-O-,



Z is a bond or -O-;

R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

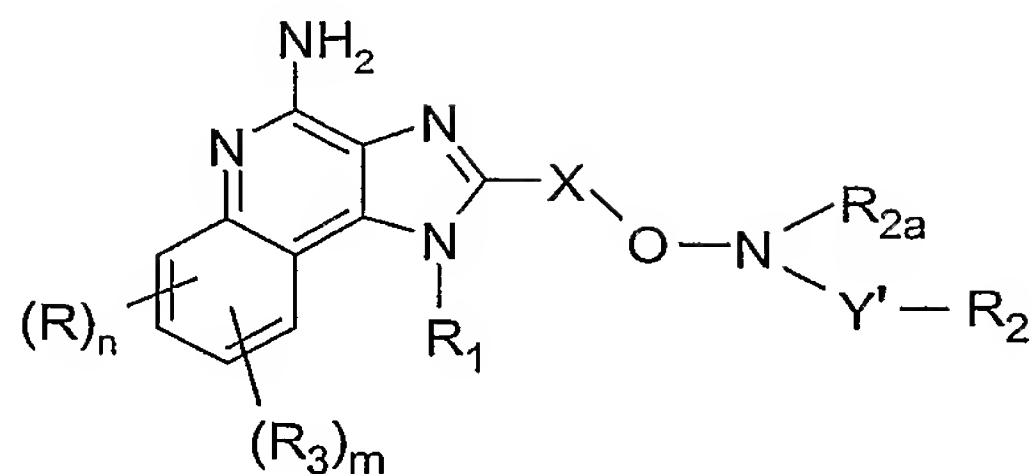
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

5. A compound of the Formula IIIa:



IIIa

wherein:

5 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

Y' is selected from the group consisting of:

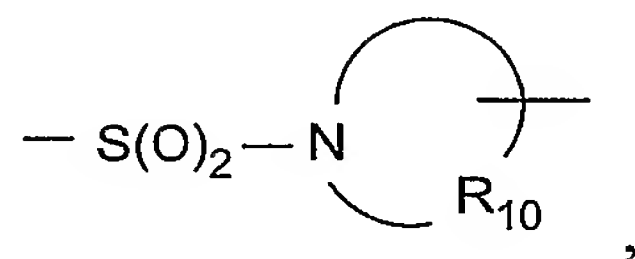
a bond,

-C(O)-,

-C(S)-,

10 -S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

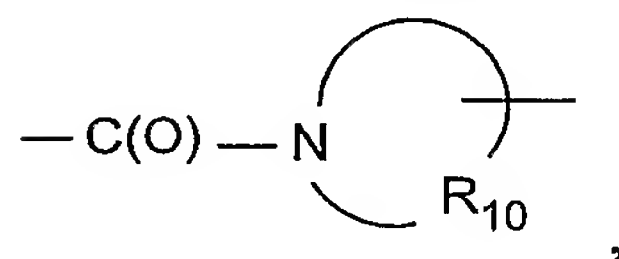
-C(O)-N(R₈)-,

15 -C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20 -C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R₂ and R_{2a} are independently selected from the group consisting of:

hydrogen,

alkyl,
alkenyl,
aryl,
arylalkylenyl,
5 heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
10 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
from the group consisting of:
hydroxy,
alkyl,
haloalkyl,
15 hydroxyalkyl,
alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
20 -NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
25 nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
30 arylalkyleneoxy,
-C(O)-O-alkyl,

-C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;

5 R is selected from the group consisting of:

halogen,
 hydroxy,
 alkyl,
 alkenyl,
 10 haloalkyl,
 alkoxy,
 alkylthio, and
 -N(R₉)₂;

R₁ is selected from the group consisting of:

15 -R₄,
 -X'-R₄,
 -X'-Y-R₄,
 -X'-Y-X'-Y-R₄,
 -X'-R₅,
 20 -X''-O-NH-Y'-R₁', and
 -X''-O-N=C(R₁') (R₁'');

R₃ is selected from the group consisting of:

-Z-R₄,
 -Z-X'-R₄,
 25 -Z-X'-Y-R₄,
 -Z-X'-Y-X'-Y-R₄, and
 -Z-X'-R₅;

n is an integer from 0 to 4;

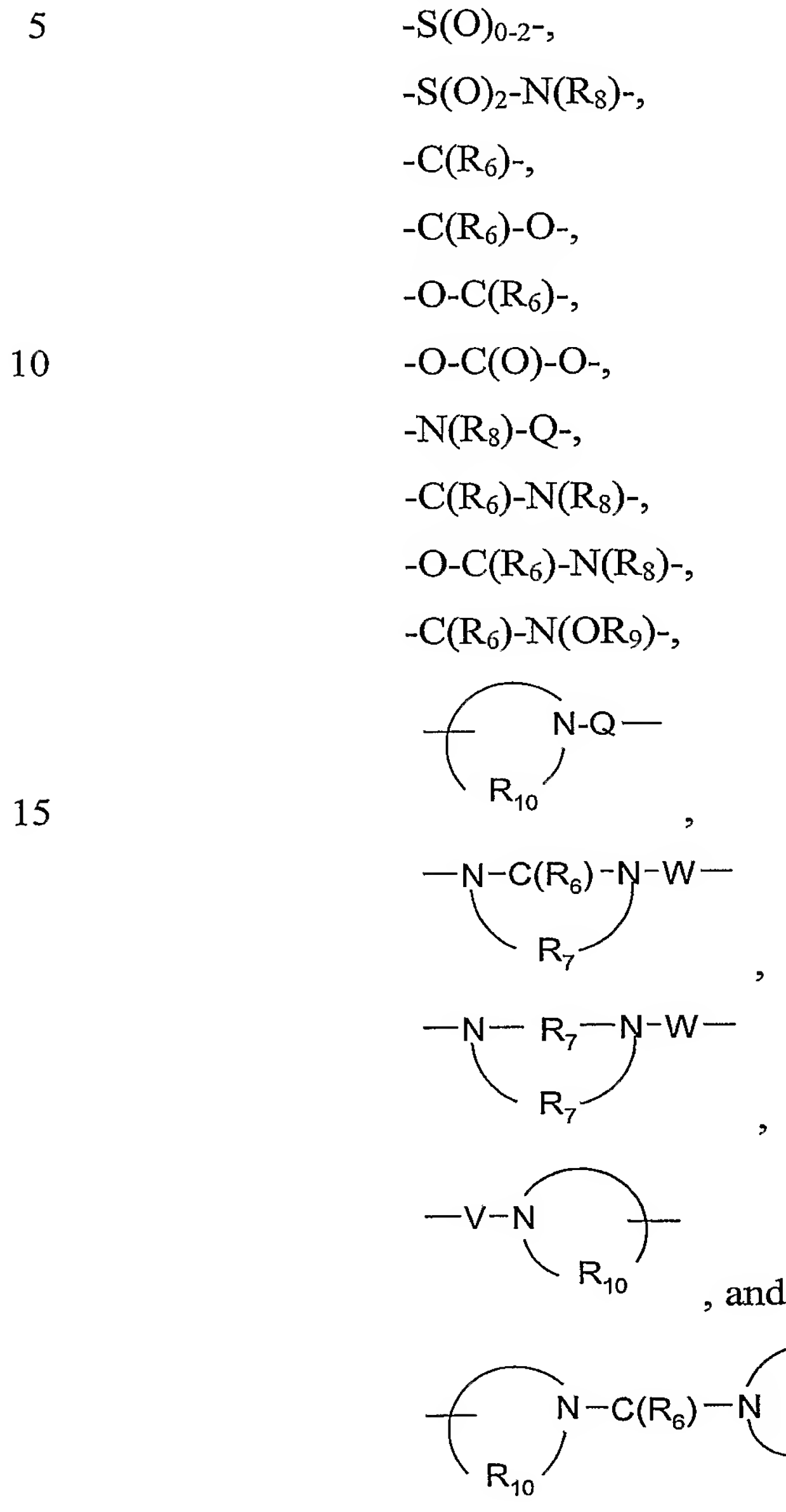
m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

30 X' is selected from the group consisting of alkylene, alkenylene, alkynylene,
 arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is $-\text{CH}(\text{R}_{13})\text{-alkylene-}$ or $-\text{CH}(\text{R}_{13})\text{-alkenylene-}$;

Y is selected from the group consisting of:

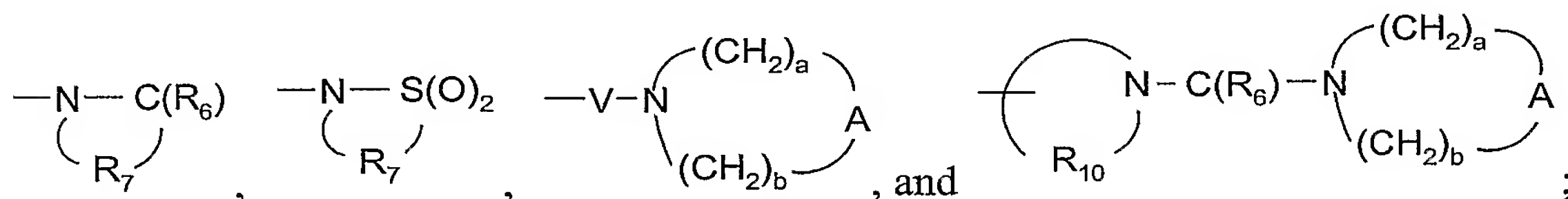


20 Z is a bond or -O-;

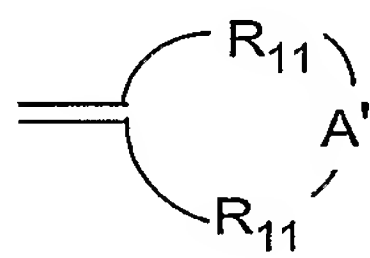
R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,

heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

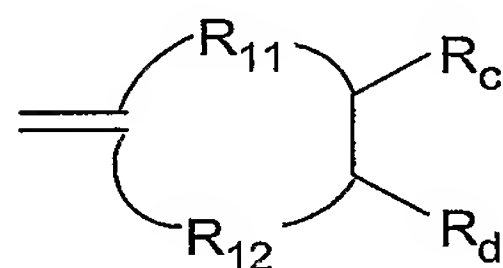
R_5 is selected from the group consisting of:



R_1' , and R_1'' are independently the same as R_2 , or R_1' and R_1'' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $\text{---N(R}_9\text{)}_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R_6 is selected from the group consisting of =O and =S ;

R_7 is C_{2-7} alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylenyl, and aryl- C_{1-10} alkylenyl;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of $-CH_2-$, -O-, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

A' is selected from the group consisting of -O-, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

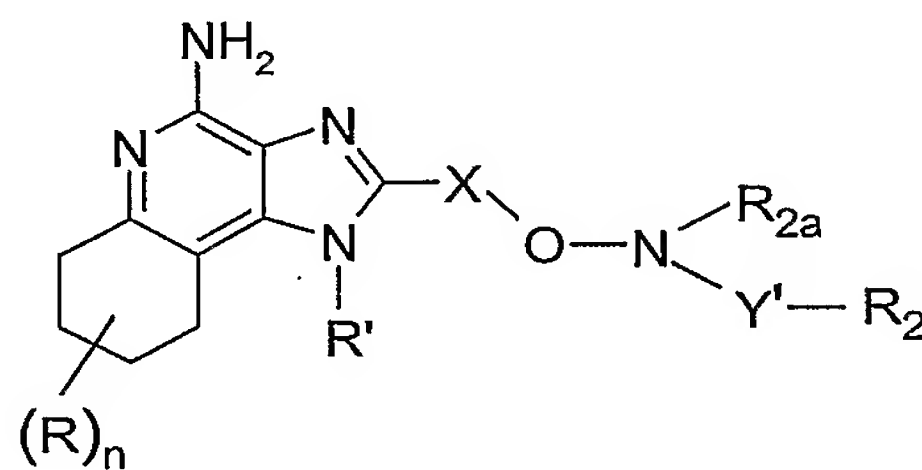
Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b$ is ≤ 7 ; or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula IV:



IV

wherein:

X is C_{1-10} alkylene or C_{2-10} alkenylene;

Y' is selected from the group consisting of:

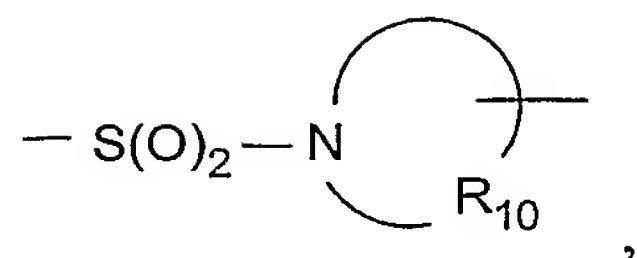
a bond,

$-C(O)-$,

$-C(S)-$,

$-S(O)_2-$,

$-S(O)_2-N(R_8)-$,



-C(O)-O-,

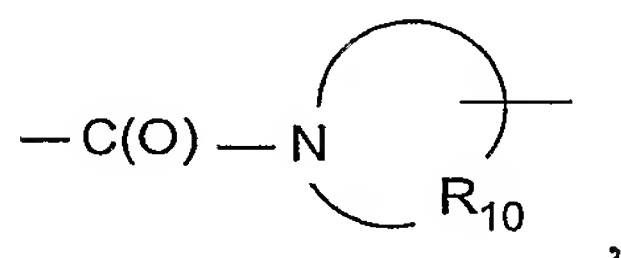
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

-N(R₉)₂;

n is an integer from 0 to 4;

R₂ and R_{2a} are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

5 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,

haloalkyl,

10 hydroxyalkyl,

alkoxy,

dialkylamino,

-S(O)₀₋₂-alkyl,

-S(O)₀₋₂-aryl,

15 -NH-S(O)₂-alkyl,

-NH-S(O)₂-aryl,

haloalkoxy,

halogen,

cyano,

20 nitro,

aryl,

heteroaryl,

heterocyclyl,

aryloxy,

25 arylalkyleneoxy;

-C(O)-O-alkyl,

-C(O)-N(R₈)₂,

-N(R₈)-C(O)-alkyl,

-O-(CO)-alkyl, and

30 -C(O)-alkyl;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl,

C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

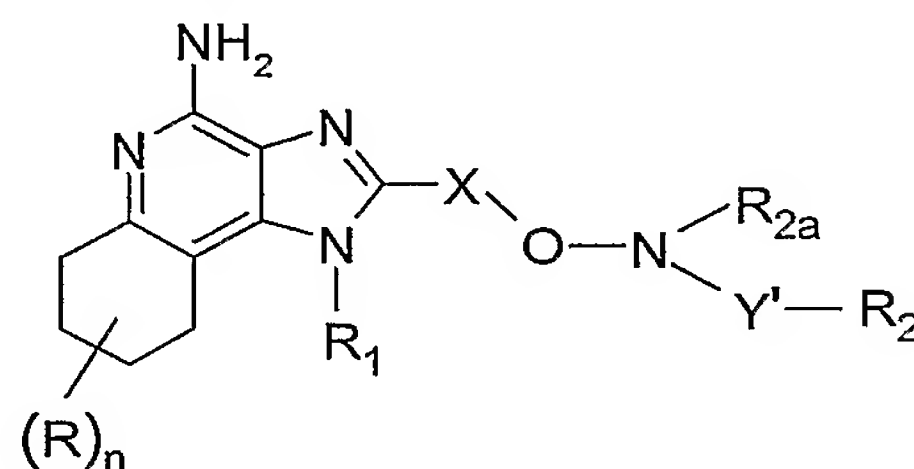
R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene; and

R' is hydrogen or a non-interfering substituent;

5 or a pharmaceutically acceptable salt thereof.

7. A compound of the Formula (IVa):



IVa

10 wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

Y' is selected from the group consisting of:

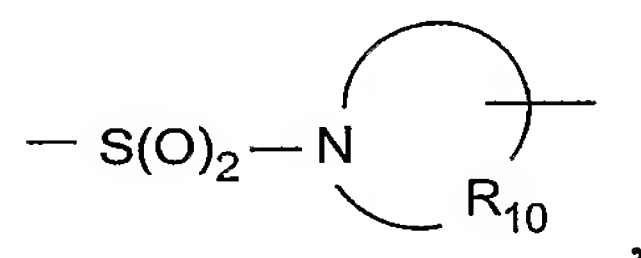
a bond,

-C(O)-,

15 -C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

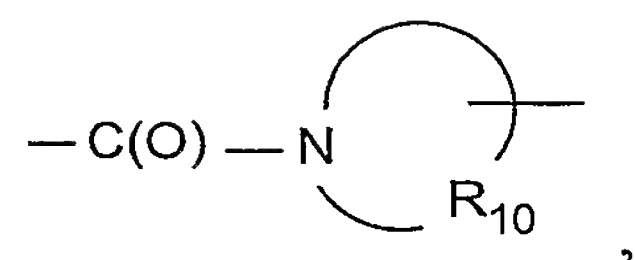
20 -C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



$-\text{C}(\text{O})-\text{C}(\text{O})-$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

5 R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

10 haloalkyl,

alkoxy,

alkylthio, and

$-\text{N}(\text{R}_9)_2$;

R₁ is selected from the group consisting of:

15 $-\text{R}_4$,

$-\text{X}'-\text{R}_4$,

$-\text{X}'-\text{Y}-\text{R}_4$,

$-\text{X}'-\text{Y}-\text{X}'-\text{Y}-\text{R}_4$,

$-\text{X}'-\text{R}_5$,

20 $-\text{X}''-\text{O}-\text{NR}_{1a}-\text{Y}'-\text{R}_{1b}$, and

$-\text{X}''-\text{O}-\text{N}=\text{C}(\text{R}_1')(\text{R}_1'')$;

R_{1a}, R_{1b}, R_{1'}, R_{1''}, R₂, and R_{2a} are independently selected from the group consisting of:

hydrogen,

25 alkyl,

alkenyl,

aryl,

arylalkylenyl,

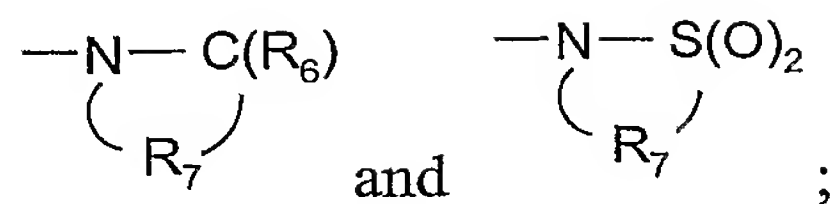
heteroaryl,

30 heteroarylalkylenyl,

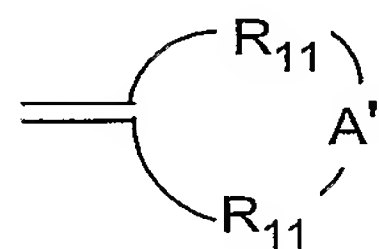
heterocyclyl,
heterocyclylalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
5 from the group consisting of:

hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
10 alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
15 -NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
20 aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
25 -C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

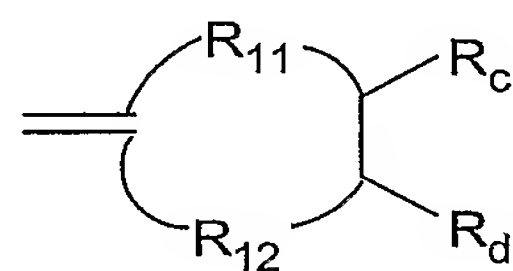
30 or R_{1a} and R_{1b} and/or R₂ and R_{2a} together with the nitrogen atom and Y' to which
they are bonded can join to form a ring selected from the group consisting of:



or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

```
10      n is an integer from 0 to 4;
```

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

15 X" is $-\text{CH}(\text{R}_{13})$ -alkylene- or $-\text{CH}(\text{R}_{13})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

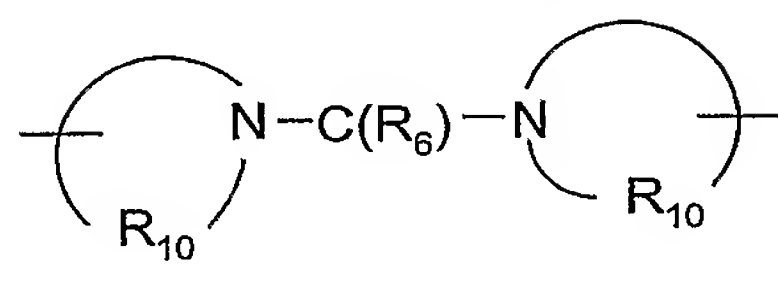
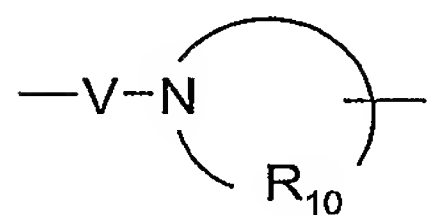
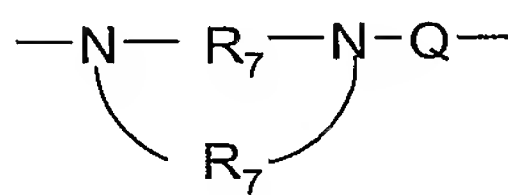
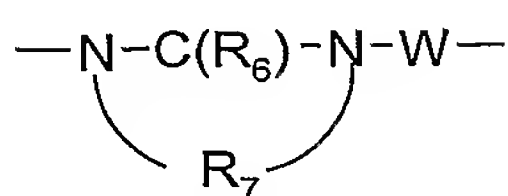
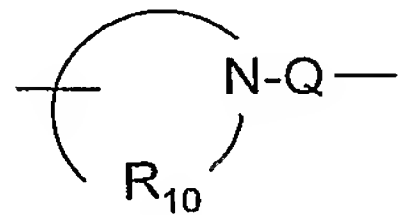
$$\text{-S(O)}_{0-2}\text{-},$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$

20 $-\text{C}(\text{R}_6)-$,

$$-\text{C}(\text{R}_6)-\text{O}-,$$
$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$
$$-\text{N}(\text{R}_8)-\text{Q}-,$$

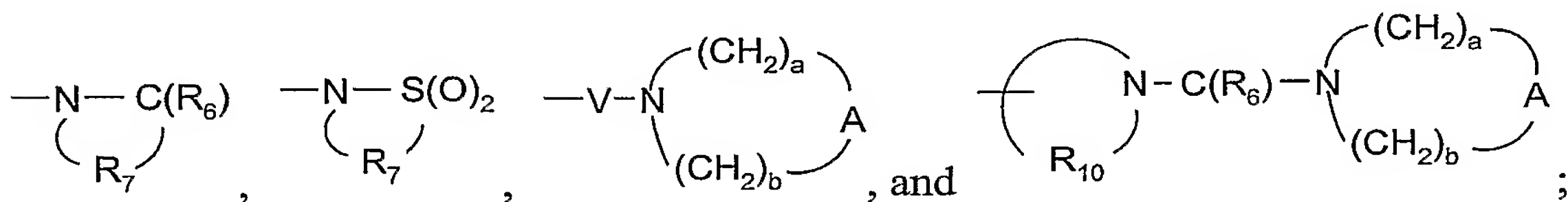
25 $\text{-C(R}_6\text{)-N(R}_8\text{)-}$,

$$-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$



R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl,

C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

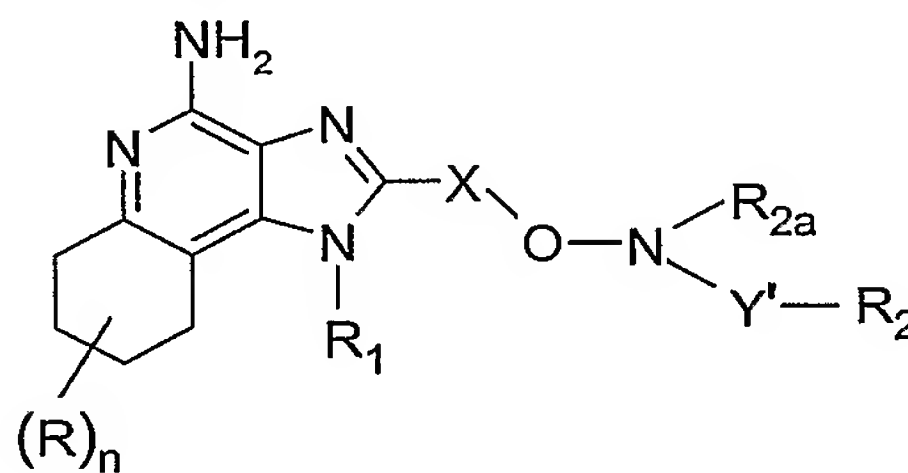
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

8. A compound of the Formula IVa:



IVa

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

Y' is selected from the group consisting of:

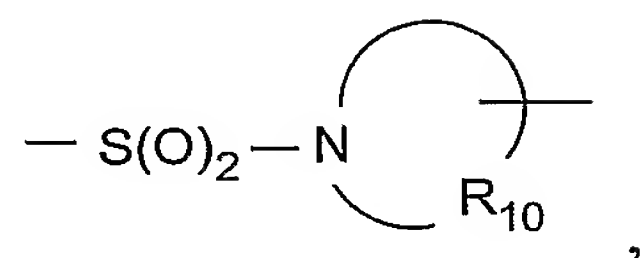
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

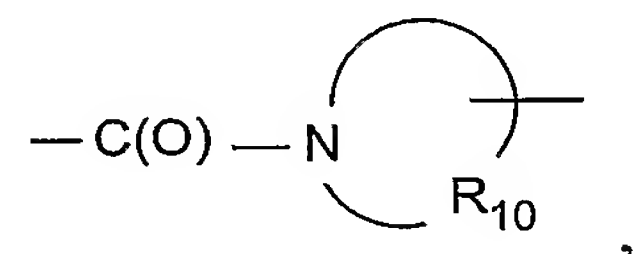
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

10 -C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

15 -C(=NH)-N(R₈)-;

R₂ and R_{2a} are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

20 aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

25 heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

5 hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
10 -NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
15 aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy;
20 -C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

25 R is selected from the group consisting of:

halogen,
hydroxy,
alkyl,
alkenyl,
30 haloalkyl,
alkoxy,

alkylthio, and

$-N(R_9)_2$;

n is an integer from 0 to 4;

R_1 is selected from the group consisting of:

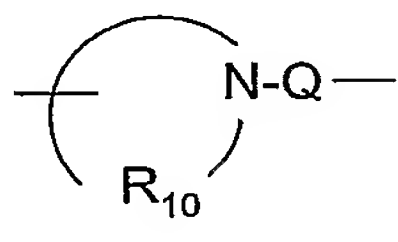
- 5 $-R_4$,
 $-X'-R_4$,
 $-X'-Y-R_4$,
 $-X'-Y-X'-Y-R_4$,
 $-X'-R_5$,
 10 $-X''-O-NH-Y'-R_1'$, and
 $-X''-O-N=C(R_1')(R_1'')$;

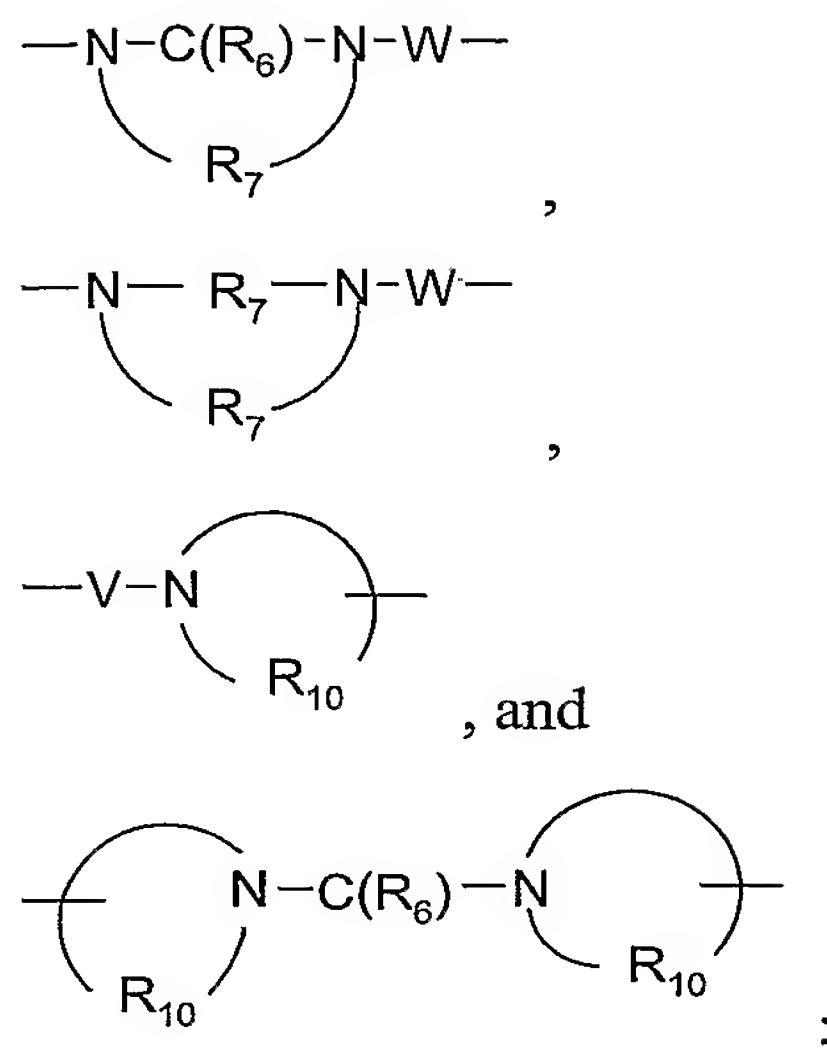
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more $-O-$ groups;

X'' is $-CH(R_{13})$ -alkylene- or $-CH(R_{13})$ -alkenylene-;

Y is selected from the group consisting of:

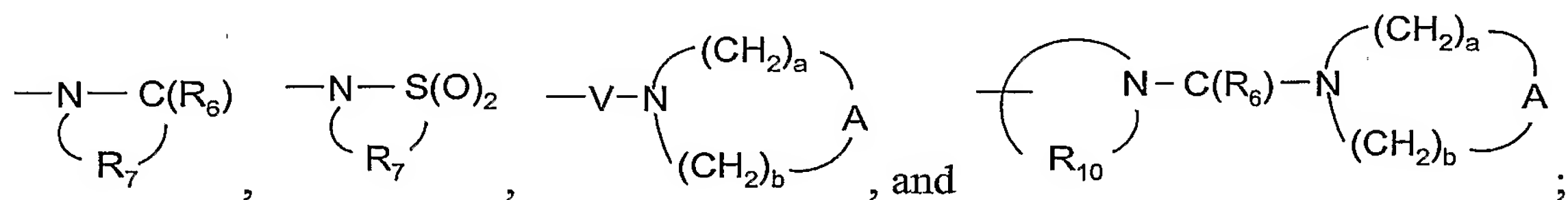
- $-S(O)_{0-2}-$,
 $-S(O)_2-N(R_8)-$,
 20 $-C(R_6)-$,
 $-C(R_6)-O-$,
 $-O-C(R_6)-$,
 $-O-C(O)-O-$,
 $-N(R_8)-Q-$,
 25 $-C(R_6)-N(R_8)-$,
 $-O-C(R_6)-N(R_8)-$,
 $-C(R_6)-N(OR_9)-$,



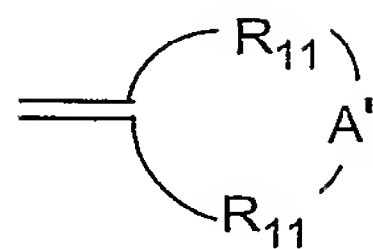


5 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted
10 or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
15 oxo;

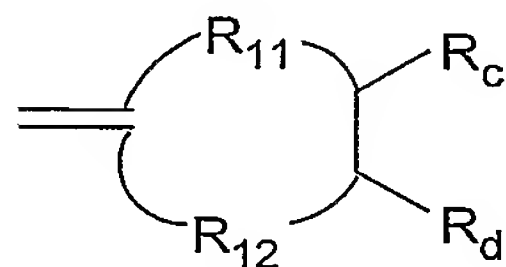
R_5 is selected from the group consisting of:



R_1' and R_1'' are independently R_2 , or R_1' and R_1'' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R_6 is selected from the group consisting of $=O$ and $=S$;

R_7 is C_{2-7} alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylenyl, and aryl- C_{1-10} alkylenyl;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

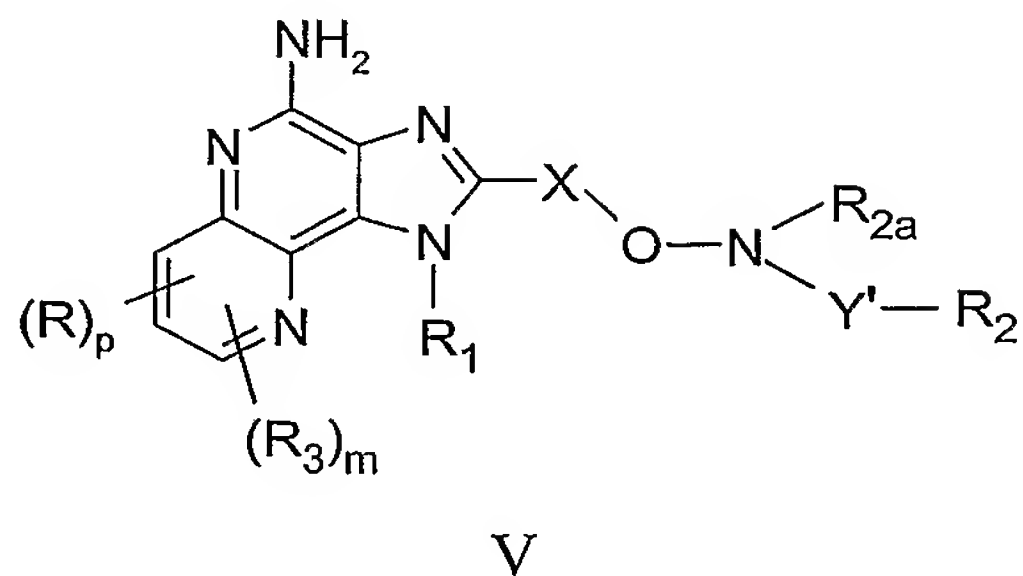
Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$;
or a pharmaceutically acceptable salt thereof.

9. A compound of the Formula V:



wherein:

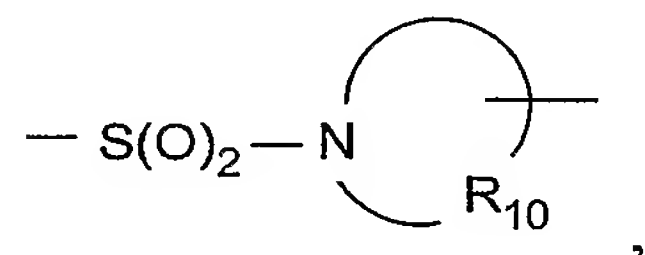
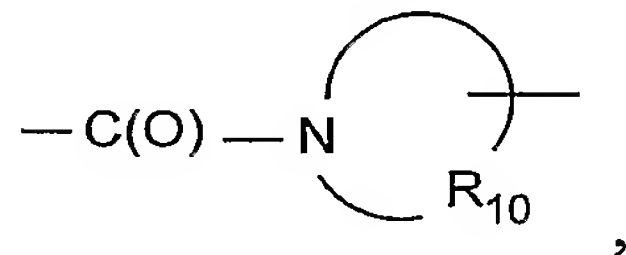
X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

Y' is selected from the group consisting of:

a bond,

-C(O)-,

-C(S)-,

$$-\text{S}(\text{O})_2-,$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$

$$-\text{C}(\text{O})-\text{O}-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$
$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$


-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R is selected from the group consisting of:

halogen,
hydroxy,
alkyl,
alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

R₁ is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄,
-X'-Y-X'-Y-R₄,
-X'-R₅,
-X''-O-NR_{1a}-Y'-R_{1b}, and
-X''-O-N=C(R₁') (R₁'');

R_{1a}, R_{1b}, R₁', R₁'', R₂, and R_{2a} are independently selected from the group consisting

of:

hydrogen,
alkyl,
alkenyl,
aryl,
arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,

haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

-S(O)₀₋₂-alkyl,

-S(O)₀₋₂-aryl,

-NH-S(O)₂-alkyl,

-NH-S(O)₂-aryl,

haloalkoxy,

halogen,

cyano,

nitro,

aryl,

heteroaryl,

heterocyclyl,

aryloxy,

arylalkyleneoxy,

-C(O)-O-alkyl,

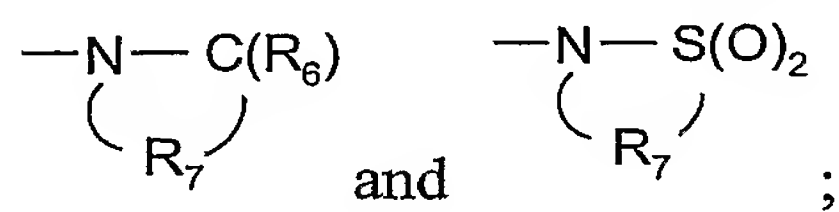
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)_2,$$

-N(R₈)-C(O)-alkyl,

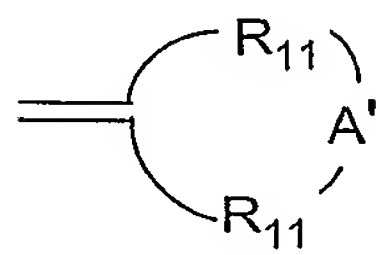
-O-(CO)-alkyl, and

-C(O)-alkyl;

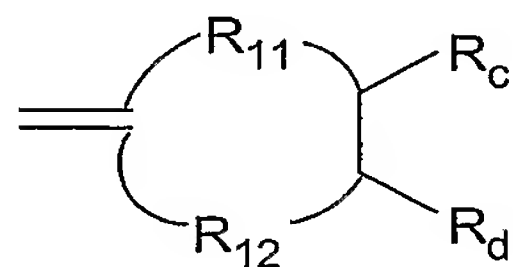
or R_{1a} and R_{1b} and/or R₂ and R_{2a} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R_1' and R_1'' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

- 5 R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R_3 is selected from the group consisting of:

- 10 $-Z-R_4$,
 $-Z-X'-R_4$,
 $-Z-X'-Y-R_4$,
 $-Z-X'-Y-X'-Y-R_4$, and
 $-Z-X'-R_5$;

- 15 p is an integer from 0 to 3;

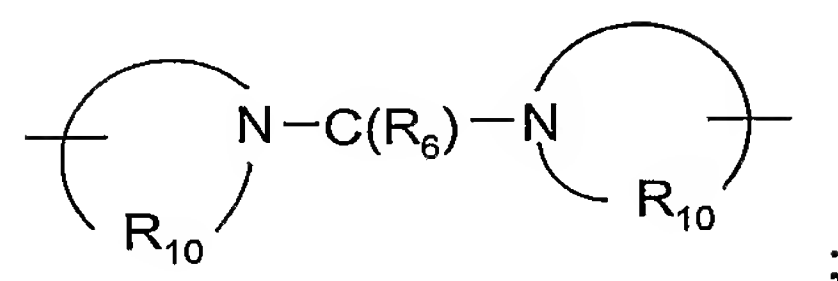
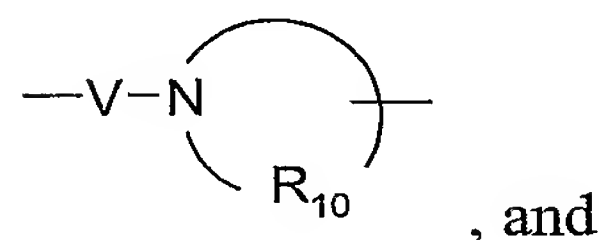
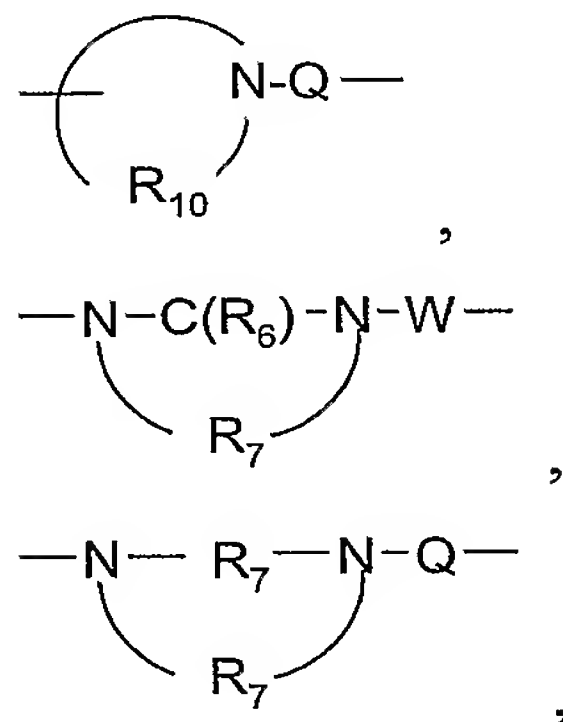
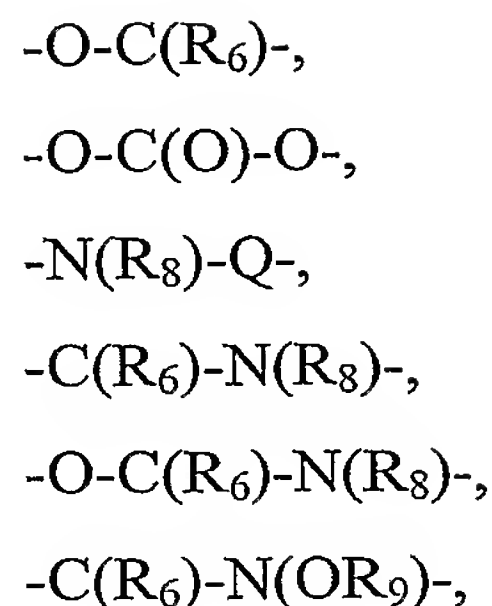
m is 0 or 1, with the proviso that when m is 1, p is 0 or 1;

- X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more $-O-$ groups;
- 20

X'' is $-\text{CH}(R_{13})\text{-alkylene-}$ or $-\text{CH}(R_{13})\text{-alkenylene-}$, wherein the alkylene and alkenylene are optionally interrupted by one or more $-O-$ groups;

Y is selected from the group consisting of:

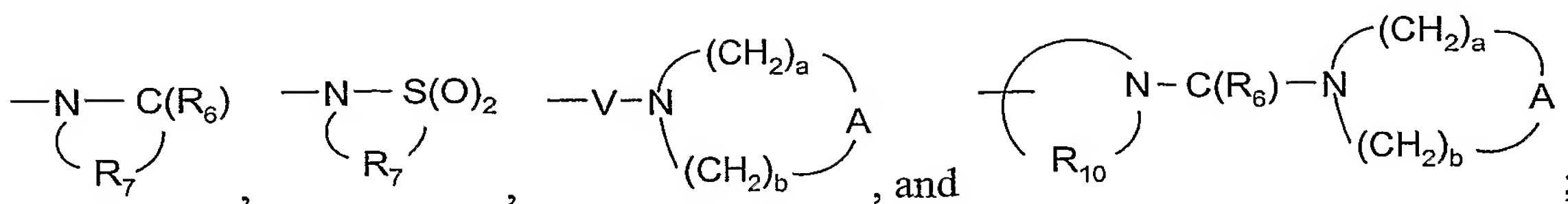
- 25 $-\text{S}(\text{O})_{0-2}\text{-}$,
 $-\text{S}(\text{O})_2\text{-N}(\text{R}_8)\text{-}$,
 $-\text{C}(\text{R}_6)\text{-}$,
 $-\text{C}(\text{R}_6)\text{-O-}$,



Z is a bond or $-O-$;

R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

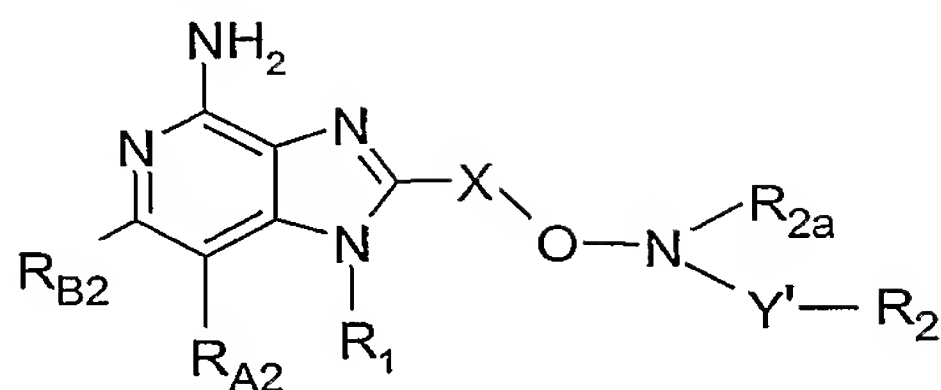
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

10. A compound of the Formula VI:



VI

wherein:

5 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;
 R_{A2} and R_{B2} are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

10 alkenyl,

alkoxy,

alkylthio, and

-N(R₉)₂;

Y' is selected from the group consisting of:

15 a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,

20 $\text{— S(O)}_2\text{— N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$,

-C(O)-O-,

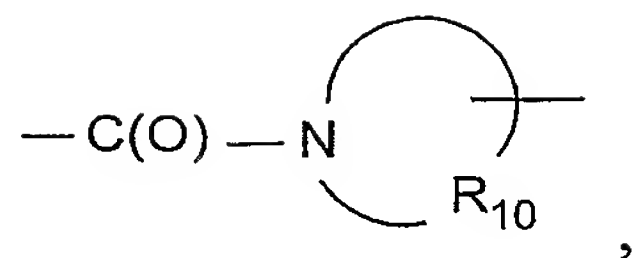
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

25 -C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



$-\text{C}(\text{O})-\text{C}(\text{O})-$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

5 R_1 is selected from the group consisting of:

$-\text{R}_4$,

$-\text{X}'-\text{R}_4$,

$-\text{X}'-\text{Y}-\text{R}_4$,

$-\text{X}'-\text{Y}-\text{X}'-\text{Y}-\text{R}_4$,

10 $-\text{X}'-\text{R}_5$,

$-\text{X}''-\text{O}-\text{NR}_{1a}-\text{Y}'-\text{R}_{1b}$, and

$-\text{X}''-\text{O}-\text{N}=\text{C}(\text{R}_1')(\text{R}_1'')$;

R_{1a} , R_{1b} , R_1' , R_1'' , R_2 , and R_{2a} are independently selected from the group consisting of:

15 hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

20 heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

25 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

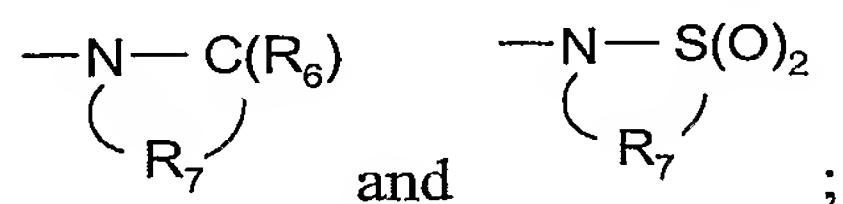
alkyl,

haloalkyl,

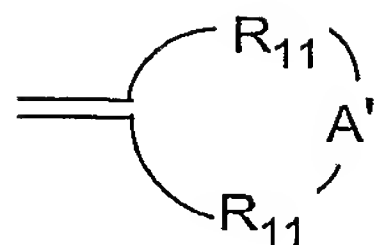
30 hydroxyalkyl,

alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

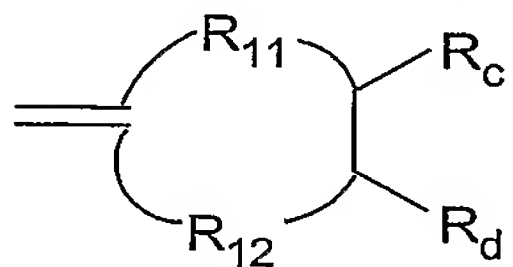
or R_{1a} and R_{1b} and/or R₂ and R_{2a} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



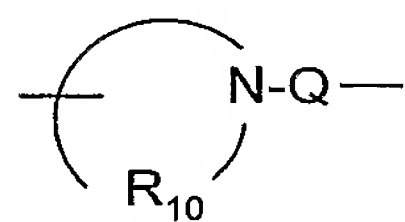
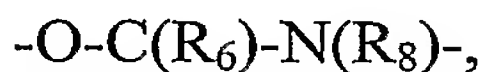
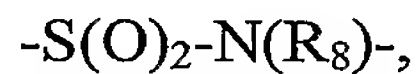
wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

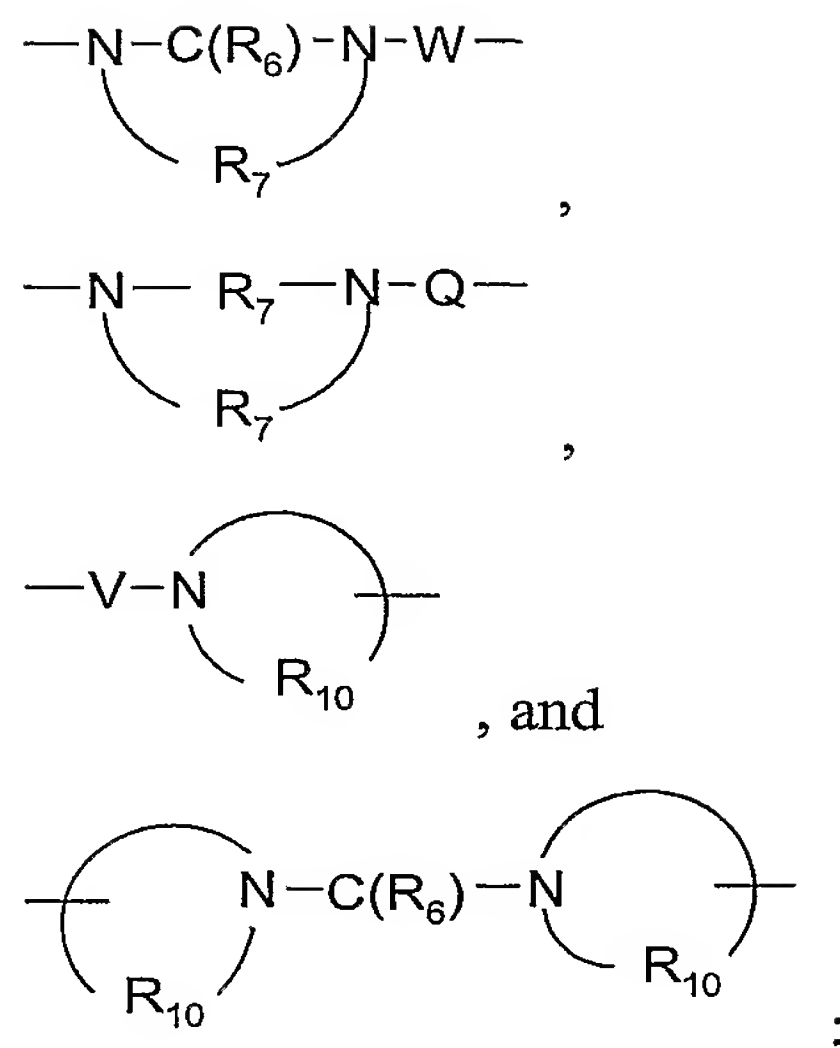
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

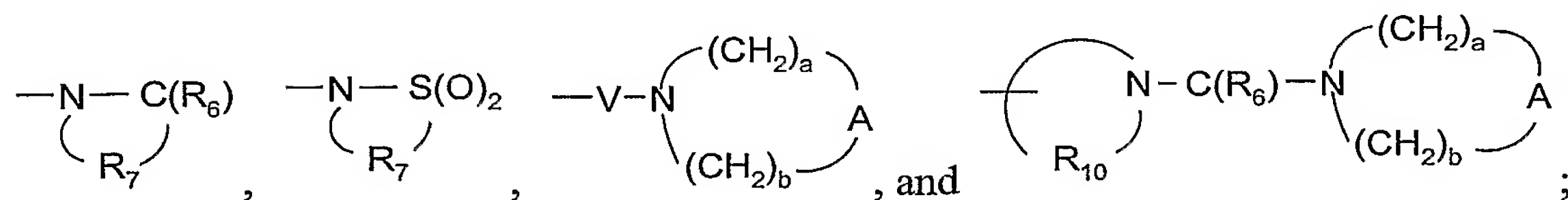


,



- 5 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group
- 10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
- 15 oxo;

R_5 is selected from the group consisting of:



R_6 is selected from the group consisting of =O and =S;

R_7 is C₂₋₇ alkylene;

- 20 R_8 is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

11. The compound or salt of claim 9 wherein p is 0.

12. The compound or salt of any one of claims 4, 5, 9, or 11 wherein m is 0.

13. The compound or salt of any one of claims 3 through 8, or claim 12 as dependent on any one of claims 4 or 5, wherein n is 0.

14. The compound or salt of any one of claims 4 or 5 or claim 13 as dependent on any one of claims 4, 5, or 12 wherein m and n are 0.

15. The compound or salt of claim 9 or claim 12 as dependent on any one of claims 9 or 11 wherein p and m are 0.

16. The compound or salt of claim 10 wherein R_{A2} and R_{B2} are each methyl.

17. The compound or salt of any one of claims 1, 3, or 6, or claim 13 as dependent on any one of claims 3 or 6, wherein R' is selected from the group consisting of:

- 5 $-R_4$,
 $-X'-R_4$,
 $-X'-Y-R_4$,
 $-X'-Y-X'-Y-R_4$,
 $-X'-R_5$,
 10 $-X''-O-NH-Y'-R_1'$, and
 $-X''-O-N=C(R_1')(R_1'')$;

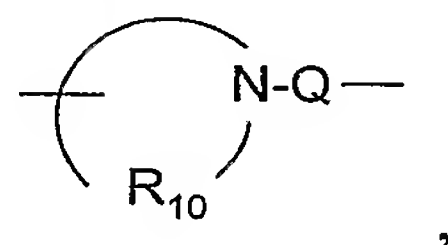
wherein:

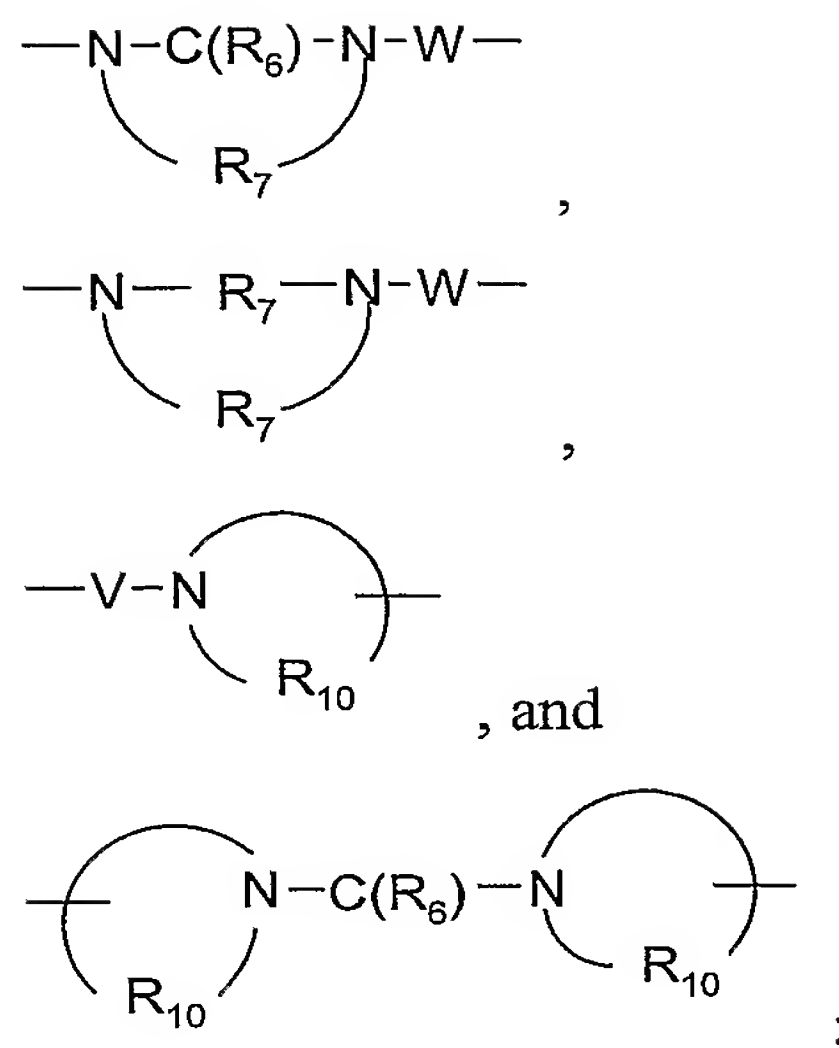
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and
 15 alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more $-O-$ groups;

X'' is $-\text{CH}(R_{13})$ alkylene or $-\text{CH}(R_{13})$ alkenylene;

Y is selected from the group consisting of:

- 20 $-\text{S}(\text{O})_{0-2}-$,
 $-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$,
 $-\text{C}(\text{R}_6)-$,
 $-\text{C}(\text{R}_6)-\text{O}-$,
 $-\text{O}-\text{C}(\text{R}_6)-$,
 $-\text{O}-\text{C}(\text{O})-\text{O}-$,
 25 $-\text{N}(\text{R}_8)-\text{Q}-$,
 $-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$,
 $-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$,
 $-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-$,



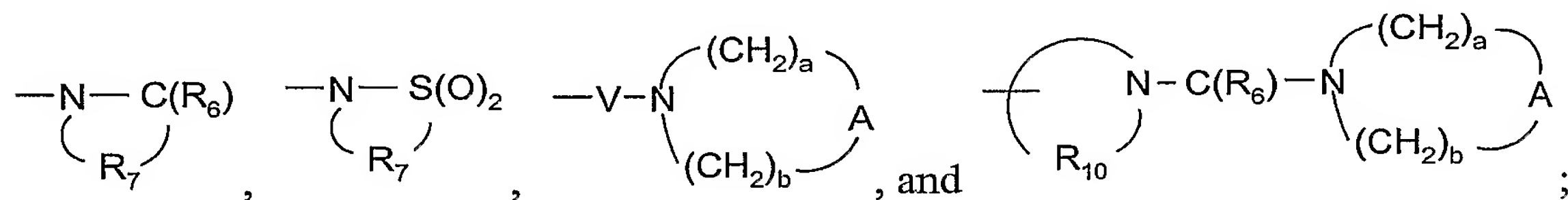


5 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group

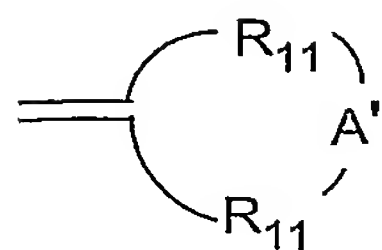
10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,

15 oxo;

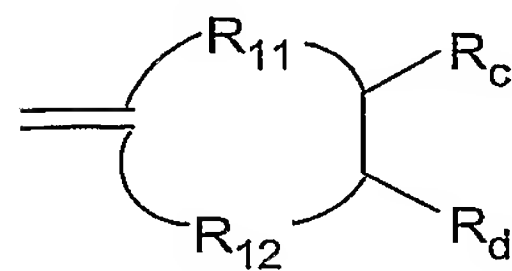
R_5 is selected from the group consisting of



R_1' and R_1'' are independently R_2 , or R_1' and R_1'' can join together to form a ring system selected from the group consisting of



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four hetero atoms;

R_6 is selected from the group consisting of $=O$ and $=S$;

R_7 is C_{2-7} alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylenyl, and aryl- C_{1-10} alkylenyl;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$.

18. The compound or salt of claim 1, claim 3, or claim 17 as dependent on any one of claims 1 or 3 wherein:

5 R''' is R or R_3 when n is 1, R or one R and one R_3 when n is 2, or R when n is 3 to 4;

R is selected from the group consisting of:

halogen,
hydroxy,
10 alkyl,
alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
15 $-N(R_9)_2$;

R_3 is selected from the group consisting of:

$-Z-R_4$,
 $-Z-X'-R_4$,
 $-Z-X'-Y-R_4$,
20 $-Z-X'-Y-X'-Y-R_4$, and
 $-Z-X'-R_5$;

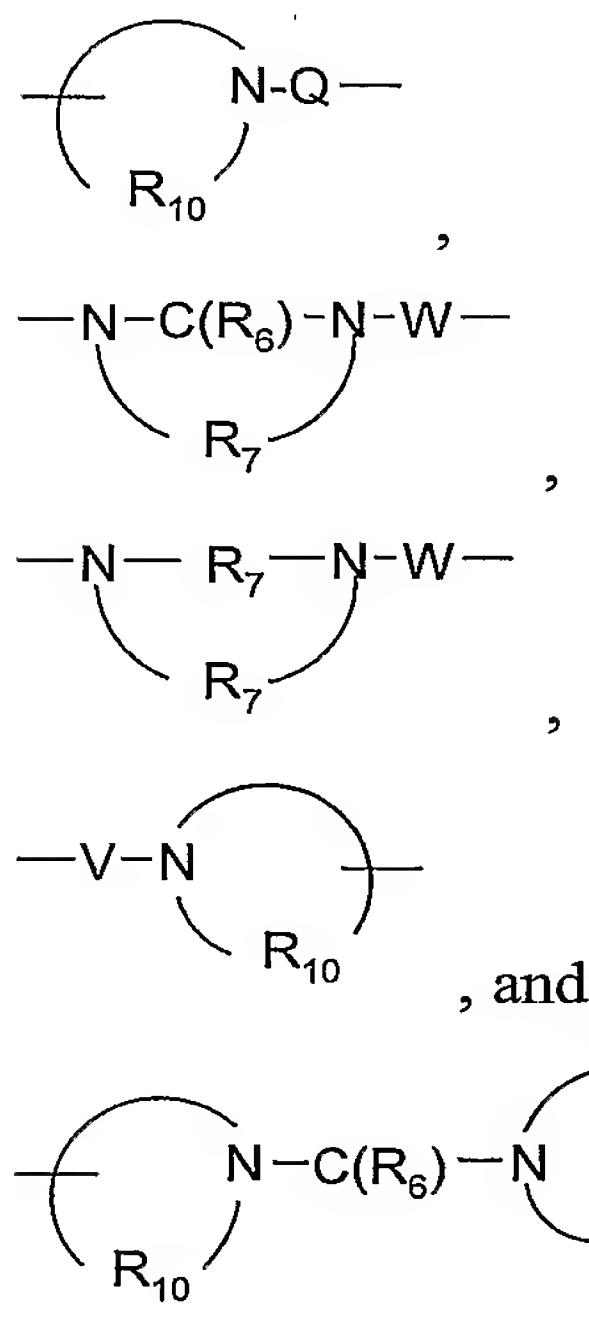
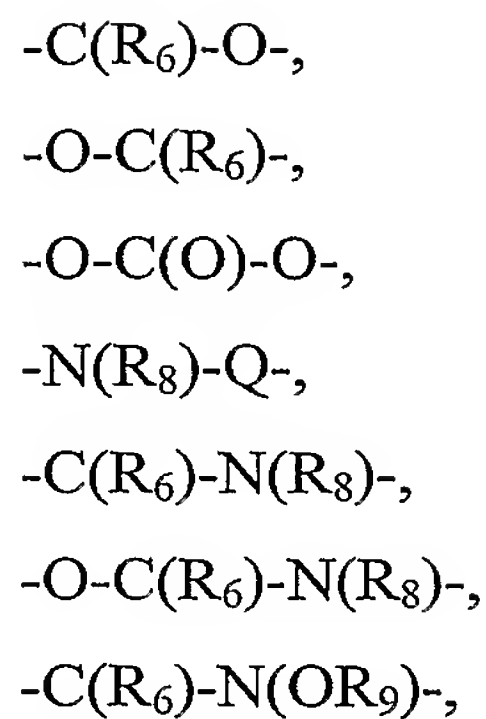
n is 0 to 4;

Z is a bond or $-O-$;

25 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more $-O-$ groups;

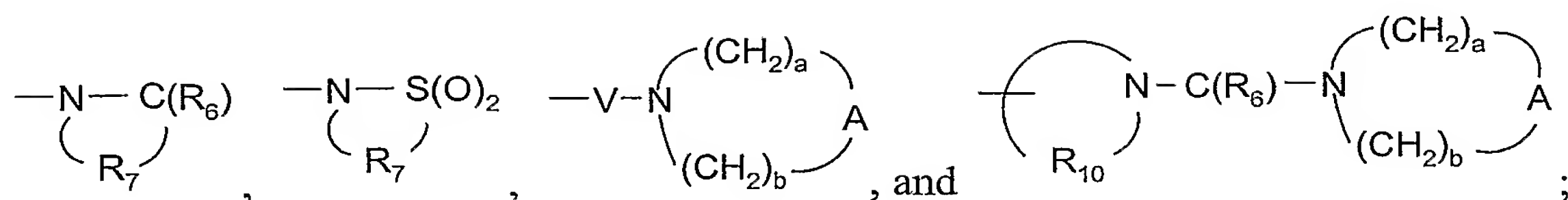
Y is selected from the group consisting of:

$-S(O)_{0-2}-$,
30 $-S(O)_2-N(R_8)-$,
 $-C(R_6)-$,



R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-

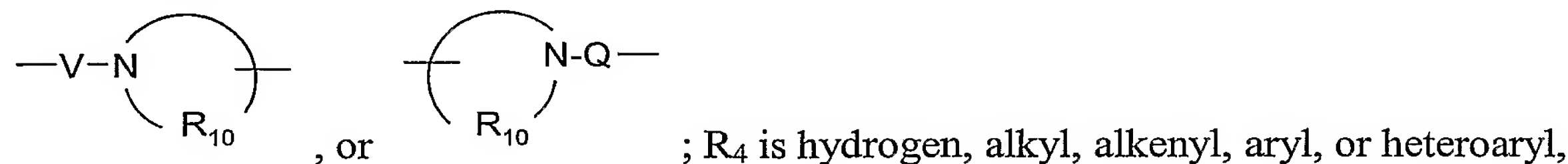
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

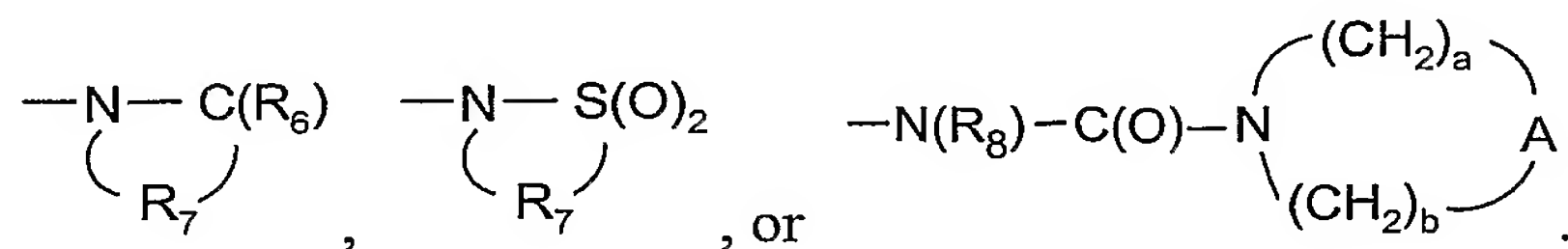
W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7.

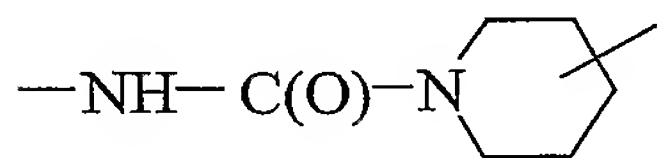
19. The compound or salt of any one of claims 2, 4, 5, 7 through 12, or 14 through 16, or claim 13 as dependent on any one of claims 4, 5, 7, 8, or 12, wherein R₁ is selected from the group consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, alkylsulfonylalkylenyl, -X'-Y-R₄, and -X'-R₅; wherein X' is alkylene; Y is -N(R₈)-C(O)-, -N(R₈)-S(O)₂-, -N(R₈)-S(O)₂-N(R₈)-, -N(R₈)-C(O)-N(R₈)-, -N(R₈)-C(O)-N(R₈)-C(O)-,



wherein alkyl and alkenyl are optionally substituted by aryl or aryloxy and wherein aryl is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, cyano, haloalkyl, and halogen; and R₅ is



20. The compound or salt of claim 19 wherein R_1 is 2-methylpropyl, 2-hydroxy-2-methylpropyl, or $-X'-Y-R_4$; X' is ethylene, propylene, or butylene; Y is $-NH-C(O)-$, $-NH-S(O)_2-$, $-NH-S(O)_2-N(R_8)-$, $-NH-C(O)-N(R_8)-$, $-NH-C(O)-NH-C(O)-$, or

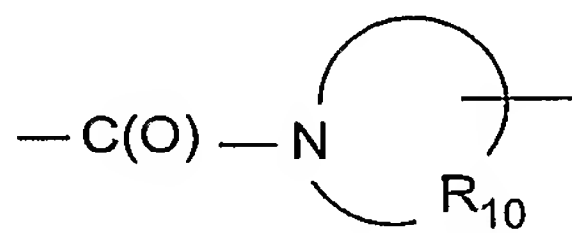


; and R_8 is hydrogen or methyl.

21. The compound or salt of any one of claims 1 through 20 wherein X is C_{1-4} alkylene.

22. The compound or salt of claim 21 wherein X is methylene.

23. The compound or salt of any one of claims 1 through 22 wherein Y' is selected from the group consisting of a bond, $-C(O)-$, $-C(O)-O-$, $-S(O)_2-$, $-S(O)_2-N(R_8)-$, $-C(O)-N(R_8)-$, $-C(S)-N(R_8)-$, $-C(O)-N(R_8)-C(O)-$, and



24. The compound or salt of claim 23 wherein Y' is selected from the group consisting of $-C(O)-$, $-S(O)_2-$, and $-C(O)-N(R_8)-$.

25. The compound or salt of any one of claims 1 through 24 wherein R_2 and R_{2a} are independently selected from the group consisting of: hydrogen, alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, heterocyclylalkylenyl, and alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of: hydroxy, alkyl, haloalkyl, hydroxyalkyl, alkoxy, dialkylamino, $-S(O)_{0-2}$ -alkyl, $-S(O)_{0-2}$ -aryl, $-NH-S(O)_2$ -alkyl, $-NH-S(O)_2$ -aryl, haloalkoxy, halogen, cyano, nitro, aryl, heteroaryl, heterocyclyl, aryloxy, arylalkyleneoxy, $-C(O)-O$ -alkyl, $-C(O)-N(R_8)_2$, $-N(R_8)-C(O)$ -alkyl, $-O-(CO)$ -alkyl, and $-C(O)$ -alkyl.

26. The compound or salt of any one of claims 1 through 25 wherein R_{2a} is hydrogen.

27. The compound or salt of any one of claims 1 through 25 wherein R_2 and R_{2a} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, heteroaryl, wherein the alkyl, alkenyl, aryl, and heteroaryl are each optionally substituted with one or more substituents selected from the group consisting of C_{1-10} alkyl, aryl, heteroaryl, C_{1-10} alkoxy, $-O-C(O)-C_{1-10}$ alkyl, $-C(O)-O-C_{1-10}$ alkyl, halogen, and cyano.

28. The compound or salt of any one of claims 1 through 27 wherein R_2 is alkyl or substituted alkyl, and R_{2a} is hydrogen.

29. The compound or salt of claim 28 wherein R_2 is methyl or cyclopropyl, and R_{2a} is hydrogen.

30. The compound or salt of any one of claims 1 through 27 wherein R_2 is alkenyl or substituted alkenyl, and R_{2a} is hydrogen.

31. The compound or salt of any one of claims 1 through 26 wherein R_2 is aryl, arylalkylenyl, substituted aryl, or substituted arylalkylenyl, and R_{2a} is hydrogen.

32. The compound or salt of any one of claims 1 through 26 wherein R_2 is heteroaryl, heteroarylalkylenyl, substituted heteroaryl, or substituted heteroarylalkylenyl, and R_{2a} is hydrogen.

33. The compound or salt of any one of claims 1 through 26 wherein R_2 is heterocyclyl, heterocyclylalkylenyl, substituted heterocyclyl, or substituted heterocyclylalkylenyl, and R_{2a} is hydrogen.

34. The compound or salt of any one of claims 1 through 26 wherein R_2 is selected from the group consisting of methyl, (ethoxycarbonyl)methyl, ethyl, cyclopropyl, cyclopropylmethyl, 2-(ethoxycarbonyl)cyclopropylmethyl, propyl, butyl, 2-methylpropyl,

tert-butyl, 3-methylbutyl, 2,2-dimethylpropyl, cyclopentyl, 2-cyclopentylethyl, furyl, fur-3-ylmethyl, furfuryl, furfurylmethyl, cyclohexyl, tetrahydrofuranyl, tetrahydrofuran-3-ylmethyl, 2-(methylthio)ethyl, 3-(methylthio)propyl, phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,6-dimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 4-(dimethylamino)phenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-(methoxycarbonyl)phenyl, 4-(trifluoromethyl)phenyl, biphenyl, benzyl, 2-methylbenzyl, 3-methylbenzyl, 4-methylbenzyl, 2-fluorobenzyl, 3-fluorobenzyl, 4-fluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2-cyanobenzyl, 3-cyanobenzyl, 4-cyanobenzyl, 2-methoxybenzyl, 3-methoxybenzyl, 4-methoxybenzyl, 4-dimethylaminobenzyl, 3-hydroxy-4-methoxybenzyl, 4-acetamidobenzyl, 4-(methoxycarbonyl)benzyl, 4-(trifluoromethyl)benzyl, 1-phenylethyl, 2-phenylethyl, 2-phenylpropyl, 3-phenylpropyl, 2-phenylethenyl, phenoxymethyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethy, 1-methylpyrrol-2-yl, 1-methylpyrrol-2-ylmethyl, 1-methylimidazol-2-yl, 1-methylimidazol-2-ylmethyl, 1-methylimidazol-4-yl, 1-methylimidazol-4-ylmethyl, 3-cyclohexen-1-yl, 3-cyclohexen-1-ylmethyl, 3,4-dihydro-2*H*-pyran-2-yl, 3,4-dihydro-2*H*-pyran-2-ylmethyl, 1-methylpiperidin-4-yl, 1-acetylpiperidin-4-yl, 1-benzylpiperidin-4-yl, 2-thienyl, 3-thienyl, thien-2-ylmethyl, thiazol-2-yl, thiazol-2-ylmethyl, 5-isoxazolyl, 5-isoxazolylmethyl, quinolin-2-yl, quinolin-2-ylmethyl, pyrrolidinyl, 3,4-dichlorophenyl, α -methylbenzyl, methoxymethyl, trifluoromethyl, and 2,2,2-trifluoroethyl; and R_{2a} is hydrogen.

35. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 in combination with a pharmaceutically acceptable carrier.

36. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 34 to the animal.

37. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 to the animal.

5 38. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 to the animal.